Patent claims

1. Guanidine compound of the general formula I

$$Q \bigvee_{\substack{N \\ | 2 \\ R^2}} R^3$$

corresponding enantiomeric, diastereomeric and/or tautomeric forms thereof, as well as pharmaceutically acceptable salts thereof, wherein the given moieties have the following definitions:

W:

a moiety of the general formula W1 or W2

$$A \rightarrow B \rightarrow R_{w}^{1}$$
 or $A \rightarrow R_{w}^{1}$ $A \rightarrow R_{w}^{1}$

wherein

A:

NO₂, NH₂, OH, CN, CF₃,OCF₃, CHF₂, OCHF₂, COOH, O-CH₂-COOH, halogen, SH, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₄-alkylene-hetatyl or C₁-C₄-alkylene- aryl, or O-R_A¹, CO-R_A¹, S-R_A¹, SO-R_A¹, CO-O-R_A¹, NR_A⁴-CO-O-R_A¹, O-CH₂-COO-R_A¹, NR_A²R_A³, CONH₂, SO₂NH₂, NR_A⁴-CO-R_A¹, SO₂-R_A¹, NR_A⁴-SO₂-R_A¹, SO₂-R_A¹, SO₂-NR_A²R_A³ or CO-NR_A²R_A³;

R_A^1 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_2 - C_6 -alkenylene-aryl or C_1 - C_6 -alkylene-hetaryl;

R_A²:

hydrogen, OH, CN, or each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl,

R_A³:

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl,

or the the moieties R_A^2 and R_A^3 form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle which can contain one, two or three different or same heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or same heteroatoms O, N, S, and wherein the cycle

formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_A⁴:

hydrogen or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, CO- C_1 - C_6 -alkyl, CO-O- C_1 - C_6 -alkyl, SO_2 - C_1 - C_6 -alkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-O-arylalkyl, CO- C_1 - C_4 -alkylene-aryl, CO-aryl, SO_2 -aryl, hetaryl, CO-hetaryl or SO_2 - C_1 - C_4 -alkylene-aryl;

B:

hydrogen or as moiety A is defined,

or each independently of one another, two of the moieties A, B or R_W¹ form, together with a 3 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated or aromatic heterocycle which can contain one, two or three further different or same heteroatoms from the group O, N, S; wherein optionally two of the moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or same heteroatoms O, N, S, and wherein the cycle formed can optionall be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_W¹:

hydrogen, OH, halogen, NO₂, NH₂, CN, CF₃, CHF₂, O-CF₃, O-CHF₂, or each optionally substituted C₁-C₆-alkyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, C₁-C₆-thioalkyl, aryl, hetaryl, O-C₁-C₆-alkyl, O-aryl, O-benzyl, C₁-C₆-alkylamino, C₁-C₆-dialkylamino, pyrrolidinyl, piperidinyl, morpholinyl, CO-C₁-C₆-alkyl, SO₂-C₁-C₆-alkyl, CO-aryl, SO₂-aryl, CO-C₁-C₄-alkylene-aryl, SO₂-aryl, CONH-C₁-C₆-alkyl, SO₂NH-C₁-C₆-alkyl, CON-(C₁-C₆-alkyl)₂, SO₂N-(C₁-C₆-alkyl)₂, NH-SO₂-C₁-C₆-alkyl or NH-CO-C₁-C₆-alkyl;

D:

as moiety A is defined;

Z:

a moiety of the general formula Z1

$$\frac{}{}$$
 $(CR_z^1R_z^2)_a - (V_z)_b - (CR_z^3R_z^4)_c - \frac{}{}$

with the indices

a = 0 - 4

b = 0, 1

c = 0 - 4

wherein the sum of a, b and c is at least 1 and no more than 5;

 R_z^1 , R_z^2 , R_z^3 , R_z^4 independently of one another:

hydrogen, halogen, OH, or

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, het-aryl or C_1 - C_4 -alkylene-hetaryl, or

each independently of one another, two moieties R_z^1 and R_z^2 or R_z^3 and R_z^4 together form a 3 to 7-membered, optionally substituted, saturated or unsaturated carbo- or heterocycle, wherein the heterocycle can contain up to three heteroatoms from the group O, N or S;

V_z:

-CO-, -CO-NR_z⁵-, -NR_z⁵-CO-, -O-, -S-, -SO-, -SO₂-, -SO₂-NR_z⁵-, -NR_z⁵-, SO₂-, -CS-, -CS-NR_z⁵-, -NR_z⁵-CS-, -CS-O-, -O-CS-, -CO-O-, -O-CO-, -O-, ethynylene, -C(= $CR_z^6R_z^7$)-, - CR_z^6 = CR_z^7 -, -NR_z⁵-, -NR_z⁵-;

 R_z^5 , R_z^{5*} independently of one another:

hydrogen or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, CO- C_1 - C_6 -alkyl, CO-O- C_1 - C_6 -alkyl, SO_2 - C_1 - C_6 -alkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO- C_1 - C_4 -alkylene-aryl, CO-aryl, SO_2 -aryl, hetaryl, CO-hetaryl or SO_2 - C_1 - C_4 -alkylene-aryl;

R_z^6 , R_z^7 independently of one another:

hydrogen, OH or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-hetaryl;

R¹, R², R³ independently of one another:

hydrogen, OH, CN, or

each independent from the third moiety two moieties of R¹, R² or R³ together form a 5 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated heterocycle which can contain one, two or three further different or same heteroatoms from the group O, N, S, wherein optionally two moieties substituted on this carbo- or heterocycle together can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or same heteroatoms O, N, S, and wherein the cycle formed can be optionally

substituted or a further, optionally substituted cycle can be condensed onto this cycle;

Q:

a doubly substituted 5-membered hetaryl moiety chosen from Q1 to Q7

$$R^4$$
 R^5
 R^4
 R^5
 R^4
 R^5
 R^5

E: O, $N-R_Q^{-1}$ or S;

R_Q¹:

hydrogen or

each optionally substituted C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, SO_2 - C_1 - C_4 -alkyl, CO-O- C_1 - C_4 -alkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-aryl, CO-hetaryl, SO_2 -aryl, SO_2 -hetaryl, CO-O-aryl, CO- C_1 - C_4 -alkylene-aryl, SO_2 - C_1 - C_4 -alkylene-aryl or CO-O- C_1 - C_4 -alkylene-aryl;

R⁴, R⁵ each independently of one another a moiety chosen from the groups 1.), 2.), 3.), 4.), 5.), 6.) or 7.):

1.) hydrogen, halogen, CN, CF₃, CHF₂, or each optionally substituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-aryl,

 C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O-aryl, COO- C_1 - C_4 -alkyl or C_1 - C_4 -alkylene-COO- C_1 - C_4 -alkyl;

2.) Phenyl or naphthyl, which are each substituted with R_Q^2 , R_Q^3 and R_Q^4 , wherein

R_Q², R_Q³ and R_Q⁴ each independently of one another represent a substituent from the following group:

hydrogen, NO₂, NH₂, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, COOH, O-CH₂-COOH, SH, halogen, or each optionally substituted aryl, hetaryl, heterocycloalkyl, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, C_1 - C_4 -alkylene-aryl or C_1 - C_4 -alkylene-hetaryl, or C_4 -alkylene-hetaryl, or C_4 -alkylene-hetaryl, or C_4 - $C_$

two of the moieties R_Q², R_Q³ or R_Q⁴ together form a 3 to 7-membered, optionally substituted, saturated, unsaturated or aromatic carbocycle or a an optionally substituted, saturated, unsaturated aromatic heterocycle which can contain up to three further different or same heteroatoms O, N, S and optionally two of the moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or same heteroatoms O, N, S and the cycle formed can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_Q⁵ each optionally substituted C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, heterocycloalkyl or hetaryl, or

 C_1 - C_6 -alkyl, which is optionally substituted with a substituent from the group consisting of halogen, NO_2 , NH_2 , OH, CN, CF_3 , CHF_2 , OCF_3 , $OCHF_2$, NH- $(C_1$ - C_6 -alkyl) and $N(C_1$ - C_6 -alkyl)₂;

- R_Q^6 each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl or C_1 - C_6 -alkylene- C_1 - C_6 -alkyl;
- R_Q⁷ hydrogen, OH, CN, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₆-alkyl, SO₂-aryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-aryl or SO₂-C₁-C₄-alkylene-hetaryl;
- $\mathsf{R_Q}^8$ hydrogen or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₄-alkylene-aryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₄-alkylene-hetaryl;

or the moieties R_Q^7 and R_Q^8 , together with the nitrogen, form a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle, which can contain one, two or three further different or same heteroatoms O, N, S; and optionally two of the moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated

or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S, and the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

3.) a 5- or 6-membered hetaryl moiety optionally substituted with 1 or 2 substituents, the hetaryl moiety chosen from the group consisting of:

2-pyrrolyl, 3-pyrrolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-pyrimidyl, 4-pyrimidyl, 5-pyrimidyl, 6-pyrimidyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-pyridazinyl, 4-pyridazinyl, 5-pyridazinyl, 6-pyridazinyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, thiadiazolyl, oxadiazolyl or triazinyl or their anellated derivatives indazolyl, benzothiophenyl, benzofuranyl, indolinyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, chinolinyl and isochinolinyl; or

2-thienyl or 3-thienyl optionally substituted with one or two substituents, wherein the substituents are chosen from the group consisting of halogen, NO₂, NH₂, OH, CN, CF₃, OCF₃, CHF₂, O-CHF₂, C₁-C₆-alkyl, O-C₁-C₆-alkyl, NH-(C₁-C₆-alkyl), N(C₁-C₆-alkyl)₂, NHCO-C₁-C₄-alkyl, NHSO₂-C₁-C₄-alkyl and SO₂-C₁-C₄-alkyl;

4.) both moieties R⁴ and R⁵ together form a 4 to 7-membered, optionally substituted, saturated or unsaturated or aromatic carbocycle or a 5- or 6-membered optionally substituted, saturated or unsaturated or aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S; and can be substituted with up to two further moieties, wherein optionally two moieties substituted on this carbo or hetero cycle can together form an anellated, saturated, unsaturated or aromatic carbo cycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O,

N, S and wherein the cycle formed can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;

- 5.) a C₅-C₁₈- bi- or tricyclic, saturated hydrocarbon moiety;
- each optionally substituted C_1 - C_8 -Alkyl-NH₂, C_1 - C_8 -Alkyl-NR_Q⁷R_Q⁸, C_1 - C_8 -Alkyl-CO-NR_Q⁷R_Q⁸, C_1 - C_8 -Alkyl-SO₂NR_Q⁷R_Q⁸, C_1 - C_8 -Alkyl-SO₂NH₂, CO-NH₂, CO-NR_Q⁷R_Q⁸, SO₂NH₂, SO₂NR_Q⁷R_Q⁸, NR_Q⁷R_Q⁸;
- 7.) a 4-7-membered mono- or bicyclic saturated or unsaturated heterocycle, which can contain up to two different or identical heteroatoms from the group O, N or S, wherein this cycle can also be multiply substituted. For the case that the heterocycle contains an N-atom, this can be substituted with a moiety R_Q⁷.
- 2. Guanidine compound of the general formula I

$$Q \xrightarrow{N} \begin{array}{c} R^3 \\ N & Z \\ N & R^1 \end{array}$$

corresponding enantiomeric, diastereomeric and/or tautomeric forms thereof as well as pharmaceutically acceptable salts thereof, wherein the given moieties have the following definitions:

W:

a moiety of the general formula W1 or W2

$$A \rightarrow B \rightarrow R_{W}$$
 or $A \rightarrow R_{W}$ $A \rightarrow R_{W}$

wherein

A:

NO₂, NH₂, OH, CN, CF₃, OCF₃, CHF₂, OCHF₂, COOH, O-CH₂-COOH, halogen, SH, or

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C_1 - C_4 -alkylene-hetaryl or C_1 - C_4 -alkylene-aryl, or O- R_A^1 , CO- R_A^1 , S- R_A^1 , S-R

 $O-R_A^1$, $CO-R_A^1$, $S-R_A^1$, $SO-R_A^1$, $CO-O-R_A^1$, $NR_A^4-CO-O-R_A^1$, $O-CH_2-COO-R_A^1$, $NR_A^2R_A^3$, $CONH_2$, SO_2NH_2 , $NR_A^4-CO-R_A^1$, $SO_2-R_A^1$, $NR_A^4-SO_2-R_A^1$, $SO_2-NR_A^2R_A^3$ or $CO-NR_A^2R_A^3$;

 R_A^1 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_2 - C_6 -alkenylene-aryl or C_1 - C_6 -alkylene-hetaryl;

R_A²:

hydrogen, OH, CN, or each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl;

 R_A^3 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkyl, C_1 - C_1 - C_1 -alkylene-hetaryl, C_1 - C_1 - C_1 -alkylene-hetaryl;

or the moieties R_A^2 and R_A^3 form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle, which can contain one, two or three further different or identical heteroatoms from the group O, N, S; wherein optionally two of the moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the so-formed cycle can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_A⁴:

hydrogen, or each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, CO- C_1 - C_6 -alkyl, CO-O- C_1 - C_6 -alkyl, SO_2 - C_1 - C_6 -alkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-O-arylalkyl, CO- C_1 - C_4 -alkylene-aryl, CO-aryl, SO_2 -aryl, hetaryl, CO-hetaryl or SO_2 - C_1 - C_4 -alkylene-aryl;

B:

hydrogen or as moiety A is defined,

or each independently of one another, two of the moieties A, B or R_w^1 together form a 3 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated or aromatic heterocycle which can contain one, two or three

further different or identical heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

Rw¹:

hydrogen, OH, halogen, NO₂, NH₂, CN, CF₃, CHF₂, O-CF₃, O-CHF₂, or each optionally substituted C₁-C₆-alkyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, C₁-C₆-thioalkyl, aryl, hetaryl, O-C₁-C₆-alkyl, O-aryl, O-benzyl, C₁-C₆-alkylamino, C₁-C₆-dialkylamino, pyrrolidinyl, piperidinyl, morpholinyl, CO-C₁-C₆-alkyl, SO₂-C₁-C₆-alkyl, CO-aryl, SO₂-aryl, CO-C₁-C₄-alkylene-aryl, SO₂-aryl, CONH-C₁-C₆-alkyl, SO₂NH-C₁-C₆-alkyl, CON-(C₁-C₆-alkyl)₂, SO₂N-(C₁-C₆-alkyl)₂, NH-SO₂-C₁-C₆-alkyl or NH-CO-C₁-C₆-alkyl;

D

as moiety A is defined;

Z:

a moiety of the general formula Z1

$$\frac{2}{5}$$
 $(CR_z^1R_z^2)_a$ $(V_z)_b$ $(CR_z^3R_z^4)_c$

with the indices

a = 0 - 4

b = 0, 1

c = 0 - 4

wherein the sum of a, b and c is at least 1 and no more than 5;

R_z^1 , R_z^2 , R_z^3 , R_z^4 independently of one another:

hydrogen, halogen, OH, or

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, hetaryl or C_1 - C_4 -alkylene-hetaryl, or

each independently of one another two moieties are R_z^1 and R_z^2 or R_z^3 and R_z^4 together form a 3 to 7-membered, optionally substituted, saturated or unsaturated carbo- or heterocycle, wherein the heterocycle can contain up to three heteroatoms from the group O, N, or S;

 V_z :

-CO-, -CO-NR_z⁵-, -NR_z⁵-CO-, -O-, -S-, -SO-, -SO₂-, -SO₂-NR_z⁵-, -NR_z⁵- SO₂-, -CS-, -CS-NR_z⁵-, -NR_z⁵-CS-, -CS-O-, -O-CS-, -CO-O-, -O-CO-, -O-, ethynylene, -C(= $CR_z^6R_z^7$)-, - CR_z^6 = CR_z^7 -, -NR_z⁵-CO-NR_z⁵-, -O-CO-NR_z⁵-, -NR_z⁵-;

R_z^5 , R_z^{5*} independently of one another:

hydrogen or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -alkylene-aryl;

R_z^6 , R_z^7 independently of one another:

hydrogen, OH or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-hetaryl;

R¹, R², R³ independently of one another:

hydrogen, OH, CN, or

each independently of the third moiety, two moieties of R¹, R² or R³ together form a 5 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated heterocycle which can contain one, two or three further different or identical heteroatoms from the group O, N, S, wherein optionally two of the moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed is optionally substituted or a further, optionally substituted cycle is condensed onto this cycle;

Q:

a doubly substituted 5-membered hetaryl moiety chosen from Q1 to Q6

$$R^4$$
 R^4
 R^4
 R^4
 R^4
 R^5
 R^4
 R^5
 R^4
 R^5
 R^5
 R^4
 R^5
 R^5
 R^4
 R^5
 R^4
 R^5
 R^4
 R^5
 R^4
 R^5
 R^6
 R^6

E: O, N- R_Q^1 or S;

 R_Q^{-1} :

hydrogen, or

each optionally substituted C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, SO_2 - C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-aryl, CO-hetaryl, SO_2 -aryl, SO_2 -hetaryl, CO- C_1 - C_4 -alkylene-aryl, SO_2 - C_1 - C_4 -alkylene-aryl, SO_2 - C_1 - C_4 -alkylene-aryl, SO_2 - SO_2 - SO_3 -alkylene-aryl, SO_3 - SO_3 - SO_3 - SO_3 - SO_4 - SO_4 -alkylene-aryl, SO_4 - SO_5

R⁴, R⁵ each independently of one another a moiety chosen from the groups 1.), 2.), 3.), 4.) or 5.):

1.) hydrogen, halogen, CN, CF₃, CHF₂, or each optionally substituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, C₁-C₆-alkylene-O-aryl, COO-C₁-C₄-alkyl or C₁-C₄-alkylene-COO-C₁-C₄-alkyl;

2.) Phenyl or naphthyl, which are each substituted with R_Q^2 , R_Q^3 and R_Q^4 , wherein

R_Q², R_Q³ and R_Q⁴ each independently of one another represent a substituent from the following group:

hydrogen, NO₂, NH₂, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, COOH, O-CH₂-COOH, SH, halogen, or each optionally substituted aryl, hetaryl, heterocycloalkyl, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, C_1 - C_4 -alkylene-aryl or C_1 - C_4 -alkylene-hetaryl, or C_1 -alkylene-hetaryl, or C_1 - C_2 - C_3 - C_4 -

two of the moieties R_Q², R_Q³ or R_Q⁴ together form a 3 to 7-membered, optionally substituted, saturated, unsaturated or aromatic carbocycle or an optionally substituted, saturated or unsaturated aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S and optionally two moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

each optionally substituted C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, heterocycloalkyl or hetaryl, or C₁-C₆-alkyl, which is optionally substituted with a substituent from the group consisting of halogen, NO₂, NH₂, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, NH-(C₁-C₆-alkyl) and N(C₁-C₆-alkyl)₂;

- R_Q^6 each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl or C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl;
- R_Q⁷ hydrogen, OH, CN, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-aryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₆-alkyl, SO₂-aryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-aryl or SO₂-C₁-C₄-alkylene-hetaryl;
- R_Q^8 each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-aryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl,

or the moieties R_Q^{7} and R_Q^{8} form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle which can contain one, two or three further or different identical heteroatoms O, N, S; and optionally two moieties substituted on this heterocycle can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and the cycle formed can optionally be

substituted or a further, optionally substituted cycle can be condensed onto this cycle;

3.) a 5- or 6-membered hetaryl moiety optionally substituted with one or two substituents from the group consisting of:

2-pyrrolyl, 3-pyrrolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-pyrimidyl, 4-pyrimidyl, 5-pyrimidyl, 6-pyrimidyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-pyridazinyl, 4-pyridazinyl, 5-pyridazinyl, 6-pyridazinyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, thiadiazolyl, oxadiazolyl or triazinyl or their anellated derivatives indazolyl, benzothiophenyl, benzofuranyl, indolinyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, chinolinyl and isochinolinyl; or

2-thienyl or 3-thienyl optionally substituted with one or two substituents, wherein the substituents are chosen from the group consisting of halogen, NO₂, NH₂, OH, CN, CF₃, OCF₃, CHF₂, O-CHF₂, C₁-C₆-alkyl, O-C₁-C₆-alkyl, NH-(C₁-C₆-alkyl), N(C₁-C₆-alkyl)₂, NHCO-C₁-C₄-alkyl, NHSO₂-C₁-C₄-alkyl and SO₂-C₁-C₄-alkyl;

5.) both moieties R⁴ and R⁵ together form a 4 to 7-membered, optionally substituted, saturated or unsaturated or aromatic carbocycle or a 5- or 6-membered optionally substituted, saturated or unsaturated or aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S and can be substituted with up to two further moieties, wherein optionally two moieties substituted on this carbo- or heterocycle can form an anellated, saturated, unsaturared or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and

- wherein the cycle formed can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;
- 6.) a C₅-C₁₈- bi- or tricyclic, saturated hydrocarbon moiety.
- 3. Guanadine compound according to claim 1 or 2, wherein the given moieties have the following definition:

W: W1;

- A: halogen, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, or each optionally substituted C₁-C₆-alkyl or C₂-C₆-alkenyl,
 O-CH₂-COO-R_A¹, O-R_A¹, S-R_A¹, NR_A²R_A³, NR_A⁴-CO-R_A¹ or CO-NR_A⁴R_A¹;
- R_A¹: each optionally substituted C₁-C₄-alkyl, C₃-C₇-cycloalkyl, phenyl or benzyl;
- R_A²: hydrogen, or each optionally substituted C₁-C₄-alkyl, phenyl, benzyl, phenethyl, CO-C₁-C₄-alkyl, CO-aryl, CO-O-C₁-C₄-alkyl, SO₂-C₁-C₄-alkyl, SO₂-aryl, SO₂-hetaryl or SO₂-C₁-C₄-alkylene-aryl;
- R_A³: each optionally substituted C₁-C₄-alkyl, phenyl, benzyl, phenethyl, CO-C₁-C₄-alkyl, CO-aryl, CO-O-C₁-C₄-alkyl, SO₂-C₁-C₄-alkyl, SO₂-aryl, SO₂-hetaryl, or SO₂-C₁-C₄-alkylene-aryl; or the moieties R_A² and R_A³ together form an optionally substituted 5- or 6-membered saturated or unsaturated ring, which can contain up to two identical or different heteroatoms from the group O and N;
- R_A⁴: hydrogen or an optionally substituted C₁-C₄-alkyl moiety;

- B: hydrogen or as moiety A is defined;
- R_w¹: hydrogen, F, Cl, CN, CF₃, O-CF₃, or each optionally substituted C₁-C₄-alkyl, aryl, C₁-C₆-alkylamino; dialkylamino;

in the formula Z1 the sum of a, b and c is 1, 2 or 3;

R_Z¹, R_Z², R_Z³, R_Z⁴ independently of one another: hydrogen, halogen, OH, optionally substituted C₁-C₆-alkyl;

Vz: -CO-, -CO-NR_z⁵-, -NR_z⁵-CO-, -O-, -S-;

R_z⁵: hydrogen, CH₃;

R¹, R², R³ independently of one another:

hydrogen, OH, CN, C₁-C₄-alkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, substituted aryl, benzyl, CO-C₁-C₆-alkyl, CO-aryl, CO-C₁-C₄-alkylene-aryl, OCO-C₁-C₆-alkyl, OCO-aryl or OCO-C₁-C₄-alkylene-hetaryl;

Q is chosen from the group consisting of Q1, Q2 and Q3;

- R_Q¹: hydrogen, optionally substituted C₁-C₄-alkyl, in the aryl moiety optionally substituted benzyl, CO-C₁-C₄-alkyl, optionally substituted benzoyl, SO₂-C₁-C₄-alkyl or in the aryl moiety optionally substituted SO₂-aryl.
- 4. Guanidine compound according to at least one of the claims 1 to 3, wherein the given moieties have the following definitions:
 - A: OH, F, CI, OCF₃, OCHF₂, optionally substituted C₁-C₄-alkyl, O-C₁-C₄-alkyl or S-C₁-C₄-alkyl;
 - B: hydrogen, OH, F, CI, CF₃, OCF₃, OCHF₂, optionally substituted C₁-C₄-alkyl, O-C₁-C₄-alkyl or S-C₁-C₄-alkyl;

Rw¹: hydrogen, F, Cl, CN, CF₃ or O-CF₃;

Z: each optionally substituted C₁-C₄-alkyl or C₁-C₄-alkylene-O-C₁-C₄- alkyl;

R_z^{1,} R_z², R_z^{3,} R_z⁴ each independently of one another: hydrogen, F, CH₃;

R¹, R², R³ independently of one another:

hydrogen, OH, CN, O-methyl, O-phenyl, acetyl, benzoyl, O-acetyl, O-benzoyl;

Q is chosen from the group consisting of

R_Q¹: hydrogen, CH₃, methanesulfonyl, phenylsulfonyl or tosyl.

- 5. Guanidine compound according to at least one of the claims 1 to 4, wherein the given moieties have the following definitions:
 - A: OH, OCF₃, OCH₃, O-ethyl, O-propyl or O-i-propyl;
 - Z: -CH₂-, -CH₂-O-, -CH₂-CH₂- or -CH₂-CH₂-O-;

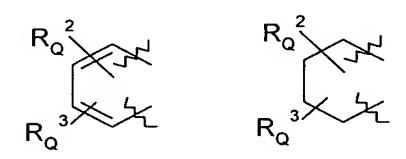
two of the moieties R^1 , R^2 , or R^3 , are hydrogen, and the third moiety is hydrogen, OH, acetyl or benzoyl;

Q:

- 6. Guanidine compound according to at least one of the claims 1 to 5, wherein R⁴ and/or R⁵ each independently of one another represents a moiety chosen from the groups 1.), 2.), 3.), 4.) or 5.):
 - 1.) hydrogen, F, Cl, CN, CF₃, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl or C₃-C₇-cycloalkyl;
 - 2.) R_Q¹, R_Q² and R_Q³ independently of one another hydrogen, CN, CF₃, CHF₂, OCF₃, OCHF₂, F, CI, OH or each optionally substituted phenyl or hetaryl, C₁-C₄-alkyl, C₅-C₇-cycloalkyl, O-R_Q⁵, NR_Q⁷R_Q⁸, CO-OR_Q⁶, NR_Q⁸-CO-O-R_Q⁶, O-CH₂-COO-R_Q⁶, NR_Q⁸-CO-R_Q⁶, NR_Q⁸-CO-O-R_Q⁶, NR_Q⁸-CO-O-R_Q⁶, SO₂-R_Q⁶, NR_Q⁸-SO₂-R_Q⁶, NR_Q⁸-CO-O-R_Q⁶, SO₂-NR_Q⁷R_Q⁸ or CO-NR_Q⁷R_Q⁸;
 - R_Q⁵: C₁-C₄-Alkyl, which is optionally substituted with a substituent from the group consisting of F, Cl, OH, CN, CF₃, OCF₃, NH-(C₁-C₄-alkyl) and N(C₁-C₄-alkyl)₂;
 - R_Q⁶: each optionally substituted C₁–C₆–alkyl, aryl, hetaryl or phenyl;
 - R_Q⁷: hydrogen, each optionally substituted C₁-C₄-alkyl, allyl, aryl, hetaryl, benzyl, phenethyl or CH₂-hetaryl;
 - R_Q⁸: each optionally substituted C₁-C₄-alkyl, allyl, aryl, hetaryl, benzyl, phenethyl or CH₂-hetaryl;

or R_Q^{7} und R_Q^{8} form an optionally substituted 3- or 7-membered saturated or unsaturated ring which can contain up to two identical or differnt hetero atoms from the group O and N;

- 3.) benzothiophenyl, benzofuranyl, chinolinyl or isochinolinyl;
- 4.) both moieties R⁴ and R⁵ together form one of the following rings:



wherein R_Q^2 and R_Q^3 are as defined under 2.);

- 5.) Adamantyl.
- 7. Guanidine compound according to claim 1 or 2, wherein the given moieties have the following definitions:

W: W1;

A: halogen, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, or each optionally substituted C₁-C₆-alkyl or C₂-C₆-alkenyl, O-CH₂-COO-R_A¹, O-R_A¹, S-R_A¹, NR_A²R_A³, NR_A⁴-CO-R_A¹, SO₂NH₂, NR_A⁴-SO₂-R_A¹, SO₂-NR_A²R_A³ or CO-NR_A⁴R_A¹;

R_A¹: each optionally substituted C₁-C₄-alkyl, C₃-C₇-cycloalkyl, phenyl or benzyl;

R_A²: hydrogen, or

each optionally substituted C_1 - C_4 -alkyl, phenyl, benzyl, phenethyl, CO- C_1 - C_4 -alkyl, CO-aryl, CO- C_1 - C_4 -alkyl, SO_2 - C_1 - C_4 -alkyl, SO_2 -aryl, SO_2 -hetaryl or SO_2 - C_1 - C_4 -alkylene-aryl;

R_A³: each optionally substituted C₁-C₄-alkyl, phenyl, benzyl, phenethyl, CO-C₁-C₄-alkyl, CO-aryl, CO-O-C₁-C₄-alkyl, SO₂-C₁-C₄-alkyl, SO₂-aryl, SO₂-hetaryl, or SO₂-C₁-C₄-alkylene-aryl; or the moieties R_A² and R_A³ together form an optionally substituted 5- or 6-membered saturated or unsaturated ring, which can contain up to two identical or different heteroatoms from the group O and N;

R_A⁴: hydrogen or an optionally substituted C₁-C₄-alkyl moiety;

B: hydrogen or as moiety A is defined;

R_w¹: hydrogen, F, Cl, CN, CF₃, O-CF₃, or each optionally substituted C₁-C₄-alkyl, aryl, C₁-C₆-alkylamino or C₁-C₆-dialkylamino;

in the formula Z1 the sum of a, b and c is 1, 2 or 3;

R_z¹, R_z², R_z³, R_z⁴ independently of one another: hydrogen, halogen, OH, optionally substituted C₁-C₆-alkyl;

Vz: -CO-, -CO-NR_z⁵-, -NR_z⁵-CO-, -O-, -S-;

R_z⁵: hydrogen, CH₃;

R¹, R², R³ independently of one another:

hydrogen, OH, CN, C₁-C₄-alkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, substituted aryl, benzyl, CO-C₁-C₆-alkyl, CO-aryl, CO-C₁-C₄-alkylene-aryl, OCO-C₁-C₆-alkyl, OCO-aryl or OCO-C₁-C₄-alkylene-hetaryl;

Q is chosen from the group consisting of Q1, Q2, Q3 and Q5;

- R_Q^{-1} : hydrogen, optionally substituted C_1 - C_4 -alkyl, in the aryl moiety optionally substituted benzyl, CO- C_1 - C_4 -alkyl, optionally substituted benzyl, SO_2 - C_1 - C_4 -alkyl or in the aryl moiety optionally substituted SO_2 -aryl.
- 8. Guanidine compound according to at least one of claims 1, 2 or 7, wherein the given moieties have the following definitions:
 - A: OH, F, Cl, OCF₃, OCHF₂, optionally substituted C₁-C₄-alkyl, O-C₁-C₄-alkyl or S-C₁-C₄-alkyl;
 - B: hydrogen, OH, F, Cl, CF₃, OCF₃, OCHF₂, optionally substituted C₁-C₄-alkyl, O-C₁-C₄-alkyl or S-C₁-C₄-alkyl;
 - R_W¹: hydrogen, F, Cl, CN, CF₃ or O-CF₃;
 - Z: each optionally substituted C₁-C₄-alkyl or C₁-C₄-alkylene-O-C₁-C₄-alkyl;
 - R_z¹, R_z², R_z³, R_z⁴ each independently of one another: hydrogen, F, CH₃;
 - R¹, R², R³ independently of one another:

 hydrogen, OH, CN, O-methyl, O-phenyl, acetyl, benzoyl, O-acetyl, O-benzoyl;

Q is chosen from the group consisting of

R_Q¹: hydrogen, CH₃, phenyl, benzyl, methanesulfonyl, phenylsulfonyl or tosyl.

- 9. Guanidine compound according to at least one of the claims 1, 2, 7 or 8, wherein the given moieties have the following definitions:
 - A: OH, OCF₃, OCH₃, O-ethyl, O-propyl or O-i-propyl;
 - Z: -CH₂-, -CH₂-O-, -CH₂-CH₂- or -CH₂-CH₂-O-;

two of the moieties R¹, R², or R³ are hydrogen, and the third moiety is hydrogen, OH, acetyl or benzoyl;

Q:

Ro¹: hydrogen, CH₃, phenyl, benzyl, methanesulfonyl, phenylsulfonyl or tosyl.

- 10. Guanidine compound according to at least one of the claims 1, 2 or 7 to 9, wherein R⁴ and/or R⁵ each independently from one another represent a moiety chosen from the groups 1.), 2.), 3.), 4.), 5.) or 7.):
 - 1.) hydrogen, F, Cl, CN, CF₃, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl or C₃-C₇-cycloalkyl;
 - 2.) R_Q¹, R_Q² and R_Q³ independently of one another hydrogen, CN, CF₃, CHF₂, OCF₃, OCHF₂, F, Cl, OH or each optionally substituted phenyl or hetaryl, C₁-C₄-alkyl, C₅-C₇-cycloalkyl, O-R_Q⁵, NR_Q⁷R_Q⁸, CO-OR_Q⁶, NR_Q⁸-CO-O-R_Q⁶, O-CH₂-

 $COO-R_Q^6$, $NR_Q^8-CO-R_Q^6$, $SO_2-R_Q^6$, $NR_Q^8-SO_2-R_Q^6$, $NR_Q^8-CO-O-R_Q^6$, SO_2NH_2 , $CONH_2$, $SO_2-NR_Q^7R_Q^8$ or $CO-NR_Q^7R_Q^8$;

R_Q⁵: C₁-C₄-alkyl, which is optionally substituted with a substituent from the group consisting of F, Cl, OH, CN, CF₃, OCF₃, NH-(C₁-C₄-alkyl) and N(C₁-C₄-alkyl)₂;

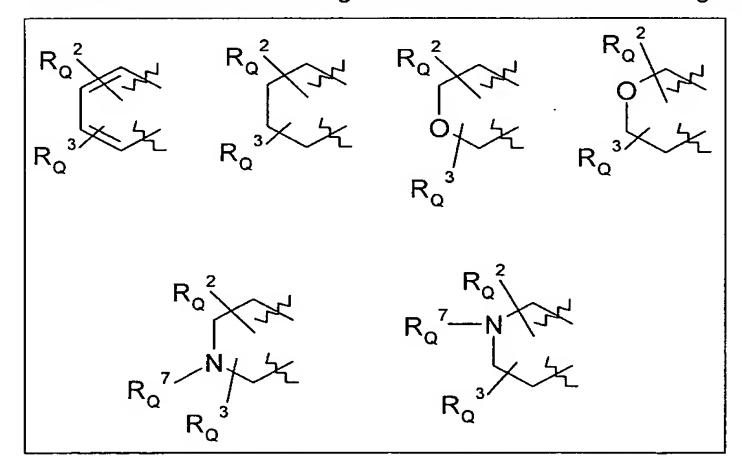
R_Q⁶: each optionally substituted C₁-C₆-alkyl, aryl, hetaryl or phenyl;

R_Q⁷: hydrogen, each optionally substituted C₁-C₄-alkyl, allyl, aryl, hetaryl, benzyl, phenethyl or CH₂-hetaryl;

R_Q⁸: hydrogen, each optionally substituted C₁-C₄-alkyl, allyl, aryl, hetaryl, benzyl, phenethyl or CH₂-hetaryl;

or R_Q^{7} and R_Q^{8} form an optionally substituted 3- or 7-membered saturated or unsaturated ring, which can contain up to two identical or different heteroatoms from the group O and N;

- 3.) benzothiophenyl, benzofuranyl, chinolinyl or isochinolinyl;
- 4.) both moieties R⁴ and R⁵ together form one of the following rings:



wherein R_Q^2 and R_Q^3 are defined as under **2.)**; or together can form an anellated 5- or 6-membered ring;

- 5.) adamantyl;
- 7.) each optionally substituted azetidine-3-yl, pyrrolidine-2-yl, pyrrolidine-3-yl, piperidine-4-yl, tetrahydro-2H-pyrane-4-yl, tetrahydrofuran-3-yl, azepan-4-yl, azepan-3-yl, azepan-2-yl, 1,4-diazepane-5-yl, 1,2,3,6-tetrahydropyridine-4-yl, 2,5-dihydro-1H-pyrrol-3-yl.
- 11. Guanidine compound according to at least one of the claims 1 to 10, wherein one moiety from R⁴ and R⁵ is chosen from group 1.), and the other moiety from R⁴ and R⁵ is chosen from the group 1.), 2.) or 3.).
- 12. Guanidine compound according to at least one of the claims 1 to 11 as a medicament.
- 13. Pharmaceutical composition, comprising at least one guanidine compound according to one of the claims 1 to 12, as well as a pharmaceutically acceptable carrier or dilution agent.
- 14. Use of compounds of the general formula IVA for the preparation of 5HT5A receptor ligands:

$$W-Z-NH_2$$

IVA

- 15. Use according to claim 14 for the preparation of the guanidine compounds according to one of the claims 1 to 12.
- 16. Use of a guanidine compound of the general formula IA

of the corrersponding enantiomeric, diastereomeric and/or tautomeric forms thereof as well as pharmaceutically acceptable salts thereof

wherein the given moieties have the following definitions:

W:

a moiety of the general formula W1 or W2

$$A \rightarrow B \rightarrow R_{W}^{1}$$
 or $A \rightarrow R_{W}^{1}$ $A \rightarrow R_{W}^{1}$

A:

NO₂, NH₂, OH, CN, CF₃, OCF₃, CHF₂, OCHF₂, COOH, O-CH₂-COOH, halogen, SH, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl or C₁-C₄-alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C₁-C₄-alkylene-hetaryl or C₁-C₄-alkylene-aryl, or O-R_A¹, CO-R_A¹, S-R_A¹, SO-R_A¹, CO-O-R_A¹, NR_A⁴-CO-O-R_A¹, O-CH₂-COO-R_A¹, NR_A²R_A³, CONH₂, SO₂NH₂, NR_A⁴-CO-R_A¹, SO₂-R_A¹, NR_A⁴-SO₂-R_A¹, SO₂-NR_A²R_A³ or CO-NR_A²R_A³;

 R_A^1 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocyclo-

alkyl, aryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_2 - C_6 -alkenylene-aryl or C_1 - C_6 -alkylene-hetaryl;

R_A^2 :

hydrogen, OH, CN, or each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl,

R_A^3 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl;

or the moieties R_A² and R_A³ form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle, which can contain one, two or three further different or identical heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms, O, N, S and wherein the so-formed cycle can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_A^4 :

hydrogen, or each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, CO- C_1 - C_6 -alkyl, CO-O- C_1 - C_6 -alkyl, CO-O-arylalkyl, CO-O-arylalkyl, CO-O-arylalkyl, CO-O-arylalkyl, CO-O-aryl, CO-aryl, CO-hetaryl, CO-hetaryl, CO-aryl, CO-aryl, CO-aryl, CO-aryl, CO-aryl, CO-aryl, CO-aryl, CO-hetaryl, CO-hetaryl, CO-hetaryl,

B: hydrogen or as moiety A is defined,

or each independently of one another two of the moieties A, B or R_W¹ together form a 3 to 7-membered, optionally substituted, saturated or unsaturated carbocycle with an optionally substituted, saturated or unsaturated or aromatic heterocycle which can contain one, two or three further different or identical heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this carbo- or heterocycle can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

Rw¹:

hydrogen, OH, halogen, NO₂, NH₂, CN, CF₃, CHF₂, O-CF₃, O-CHF₂, or each optionally substituted C₁-C₆-alkyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, C₁-C₆-alkylene-S-C₁-C₆-alkyl, aryl, hetaryl, O-C₁-C₆-alkyl, O-aryl, O-benzyl, C₁-C₆-alkylamino, C₁-C₆-dialkylamino, pyrrolidinyl, piperidinyl, morpholinyl, CO-C₁-C₆-alkyl, SO₂-C₁-C₆-alkyl, CO-aryl, SO₂-aryl, CO-C₁-C₄-alkylene-aryl, SO₂-C₁-C₄-alkylene-aryl, SO-aryl, CONH₂, CONH-C₁-C₆-alkyl, SO₂NH-C₁-C₆-alkyl, CON(C₁-C₆-alkyl)₂, SO₂N(C₁-C₆-alkyl)₂, NH-SO₂-C₁-C₆-alkyl or NH-CO-C₁-C₆-alkyl;

D:

as moiety A is defined;

Z:

a moiety of the general formula Z1

$$\frac{\ }{\ }$$
 $(CR_z^{1}R_z^{2})_a$ $--- (V_z)_b$ $---- (CR_z^{3}R_z^{4})_c$ $\frac{\ }{\ }$

with the indices

a = 0 - 4

b = 0, 1

c = 0 - 4

wherein the sum of a, b and c is no more than 5;

 R_z^1 , R_z^2 , R_z^3 , R_z^4 independently of one another:

hydrogen, halogen, OH, or

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, hetaryl or C_1 - C_4 -alkylene-hetaryl, or

each independently of one another two moieties R_z^1 and R_z^2 or R_z^3 and R_z^4 together form a 3 to 7–membered, optionally substituted, saturated or unsaturated carbo- or heterocycle, which can contain up to three heteroatoms from the group O, N or S;

 V_z :

R_z⁵, R_z⁵* independently of one another:

hydrogen, or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -aryl, C_0 -aryl, hetaryl, C_0 -hetaryl or S_0 - C_1 - C_0 -alkylene-aryl;

R_z^6 , R_z^7 independently of one another:

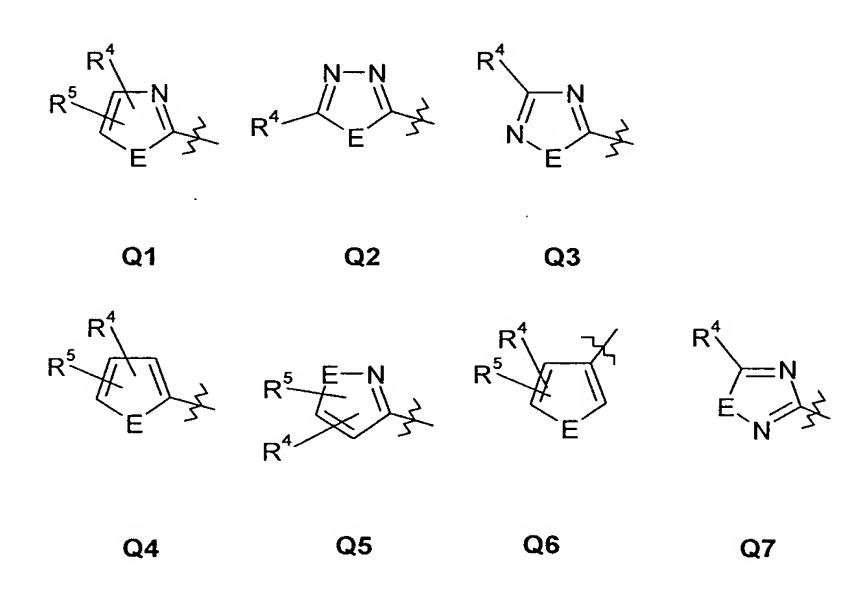
hydrogen, OH, or each optionally substituted C_1 - C_6 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, hetaryl or C_1 - C_4 -alkylene-hetaryl;

R¹, R², R³ independently of one another:

each independently from the third moiety two moieties of R¹, R² or R³ together form a 5 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated, which can contain one, two or three further different or identical heteroatoms from the group O, N, S, wherein optionally two moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated, or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;

Q:

a doubly substituted 5-membered hetaryl moiety, chosen from Q1 to Q7



E: O, $N-R_Q^1$ or S;

 R_Q^1 :

hydrogen, or

each optionally substituted C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, SO_2 - C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-aryl, CO-hetaryl, SO_2 -aryl, SO_2 -hetaryl, CO-O-aryl, CO- C_1 - C_4 -alkylene-aryl, SO_2 - C_1 - C_4 -alkylene-aryl or CO-O- C_1 - C_4 -alkylene-aryl;

R⁴, R⁵ each independently of one another, a moiety chosen from the groups 1.), 2.), 3.), 4.), 5.), 6.) or 7.):

1.) hydrogen, halogen, CN, CF₃, CHF₂, or

each optionally substituted C_1 - C_{10} -alkyl, C_2 - C_{10} -alkenyl, C_2 - C_{10} -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene- C_1 - C_6 -alkylene- C_1 - C_6 -alkylene- C_1 - C_6 -alkylene- C_1 - C_4 -alkylene- C_1 - C_1 -

phenyl or naphthyl, which are substituted with R_Q², R_Q³ and R_Q⁴, wherein

R_Q², R_Q³ and R_Q⁴ each independently of one another represent a substituent from the following group:

hydrogen, NO₂, NH₂, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, COOH, O-CH₂-COOH, SH, halogen, or each optionally substituted aryl, hetaryl, heterocycloalkyl, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, C_1 - C_4 -alkylene-aryl or C_1 - C_4 -alkylene-hetaryl, or C_4 -alkylene-hetaryl, or C_7 - C_8 -

two of the moieties R_Q², R_Q³ or R_Q⁴ together form a 3 to 7-membered, optionally substituted, saturated, unsaturated carbocycle or an optionally substituted, saturated, unsaturated aromatic heterocycle which can contain up to three further different or identical heteroatoms O, N, S, and optionally two moieties substituted on this heterocycle can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S, and the cycle formed may optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

- R_Q⁵ each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, heterocycloalkyl, aryl or hetaryl;
- R_Q^6 each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, heterocycloalkyl or C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl;
- R_Q⁷ hydrogen, OH, CN, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-hetaryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₆-alkyl, SO₂-aryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-aryl or SO₂-C₁-C₄-alkylene-hetaryl;
- R_Q⁸ hydrogen or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-aryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₄-alkylene-hetaryl;

or both moieties R_Q^7 and R_Q^8 form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle,

which can contain one, two or three different or identical heteroatoms O, N, S; and optionally two moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S, and the cycle formed can be optionally substituted or a further, optionally substituted cycle can be condensed onto this cycle;

3.) a 5- or 6-membered, hetaryl moiety, optionally substituted with 1 or 2 substituents from the group consisting of:

2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-pyrimidyl, 4-pyrimidyl, 5-pyrimidyl, 6-pyrimidyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-pyridazinyl, 4-pyridazinyl, 5-pyridazinyl, 6-pyridazinyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, thiadiazolyl, oxadiazolyl or triazinyl or their anellated derivatives indazolyl, indolyl, benzothiophenyl, benzofuranyl, indolinyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, chinolinyl and isochinolinyl;

4.) both moieties R⁴ and R⁵ together form a 4 to 7-membered, optionally substituted, saturated or unsaturated or aromatic carbocycle or a 5- or 6-membered optionally substituted, saturated or unsaturated or aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S, and which can be subtituted with up to two further moieties, wherein optionally two moieties substituted on this carbo- or heterocycle together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

- 5.) a C₆-C₁₀- bi- or tricyclyc, saturated hydrocarbon moiety;
- each optionally substituted C_1 - C_8 -alkyl-NH₂, C_1 - C_8 -alkyl-NR_Q⁷R_Q⁸, C_1 - C_8 -alkyl-CO-NR_Q⁷R_Q⁸, C_1 - C_8 -alkyl-SO₂NR_Q⁷R_Q⁸, C_1 - C_8 -alkyl-SO₂NH₂, CO-NH₂, CO-NR_Q⁷R_Q⁸, SO₂NH₂, SO₂NR_Q⁷R_Q⁸, NR_Q⁷R_Q⁸;
- 7.) a 4-7-membered mono- or bicyclic saturated or unsaturated heterocycle, which can contain up to two different or identical heteroatoms from the group O, N or S, wherein this cycle can also be multiply substituted. For the case that the heterocycle contains an Natom, this can be substituted with an R_Q⁷ moiety.

for the preparation of a medicament for the treatment of diseases modulated by a 5-HT5 receptor activity.

17. Use of a guanidine compound of the general formula IA

$$Q \xrightarrow{N} \begin{array}{c} R^3 \\ X & Z \\ N & R^2 \end{array}$$

of the corresponding enantiomeric, diastereomeric and/or tautomeric forms thereof as well as pharmaceutically acceptable salts thereof,

wherein the given moieties have the following deifinitions:

IA

W:

a moiety of the general formula W1 or W2

$$A \rightarrow B \rightarrow R_{W}$$
 or $A \rightarrow R_{W}$ $A \rightarrow R_{W}$

A:

NO₂, NH₂, OH, CN, CF₃, OCF₃, CHF₂, OCHF₂, COOH, O-CH₂-COOH, halogen, SH, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl or C₁-C₄-alkylene-hetaryl or C₁-C₄-alkylene-hetaryl, heterocycloalkyl, C₁-C₄-alkylene-hetaryl or C₁-C₄-alkylene-aryl, or O-R_A¹, CO-R_A¹, S-R_A¹, SO-R_A¹, CO-O-R_A¹, NR_A⁴-CO-O-R_A¹, O-CH₂-COO-R_A¹, NR_A²R_A³, CONH₂, SO₂NH₂, NR_A⁴-CO-R_A¹, SO₂-R_A¹, NR_A⁴-SO₂-R_A¹, SO₂-NR_A²R_A³ or CO-NR_A²R_A³;

 R_A^{-1} :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_2 - C_6 -alkenylene-aryl or C_1 - C_6 -alkylene-hetaryl;

R_A²:

hydrogen, OH, CN, or each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl,

SO₂-C₁-C₆-alkyl, SO₂-aryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-aryl or SO₂-C₁-C₄-alkylene-hetaryl;

R_A^3 :

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, aryl, hetaryl, heterocycloalkyl, C_1 - C_4 -alkylene-aryl, C_1 - C_4 -alkylene-hetaryl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, CO- C_1 - C_6 -alkyl, CO-aryl, CO-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl, CO-O- C_1 - C_6 -alkyl, CO-O-aryl, CO-O- C_1 - C_4 -alkylene-aryl, CO-O-hetaryl, CO-O- C_1 - C_4 -alkylene-hetaryl, CO- C_1 - C_4 -alkylene-hetaryl;

or the moieties R_A^2 and R_A^3 form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle, which can contain one, two or three further different or identical heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this heterocycle together can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S, and wherein the so-formed cycle can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_A⁴:

hydrogen, or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, CO- C_1 - C_6 -alkyl, CO-O- C_1 - C_6 -alkyl, CO-O-alkyl, CO-O-arylalkyl, CO-O-arylalkyl, CO-O-arylalkyl, CO-O-aryl, CO-aryl, CO-ary

B:

hydrogen or as moiety A is defined,

or each independently from another, two of the moieties **A**, **B** or **R**_w¹ together form a 3 to 7-membered, optionally substituted, saturated or unsaturated carbocycle or an optionally substituted, saturated or unsaturated or aromatic heterocycle, which can contain one, two or three further different or identical heteroatoms from the group O, N, S; wherein optionally two moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the heterocycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

R_W¹:

hydrogen, OH, halogen, NO₂, NH₂, CN, CF₃, CHF₂, O-CF₃, O-CHF₂, or each optionally substituted C_1 - C_6 -alkyl, C_3 - C_7 -cycloalkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-S- C_1 - C_6 -alkyl, aryl, hetaryl, O- C_1 - C_6 -alkyl, O-aryl, O-benzyl, C_1 - C_6 -alkylamino, C_1 - C_6 -dialkylamino, pyrrolidinyl, piperidinyl, morpholinyl, CO- C_1 - C_6 -alkyl, SO₂- C_1 - C_6 -alkyl, CO-aryl, SO₂-aryl, CO- C_1 - C_4 -alkylene-aryl, SO₂- C_1 - C_4 -alkylene-aryl, SO-aryl, CONH₂, CONH- C_1 - C_6 -alkyl, SO₂NH- C_1 - C_6 -alkyl, CON(C_1 - C_6 -alkyl), SO₂N(C_1 - C_6 -alkyl), NH-SO₂- C_1 - C_6 -alkyl or NH-CO- C_1 - C_6 -alkyl;

D:

as moiety A is defined;

Z:

a moiety of the general formula Z1

$$\frac{2}{5}$$
 $(CR_z^1R_z^2)_a$ $(V_z)_b$ $(CR_z^3R_z^4)_c$

with the indices

a = 0 - 4

b = 0, 1

c = 0 - 4

wherein the sum of a, b and c is no more than 5;

R_z^1 , R_z^2 , R_z^3 , R_z^4 independently of one another:

hydrogen, halogen, OH, or

each optionally substituted C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_1 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, hetaryl or C_1 - C_4 -alkylene-hetaryl, or

each independently of one another, two moieties R_z^1 and R_z^2 or R_z^3 and R_z^4 together form a 3 to 7–membered, optionally substituted, saturated or unsaturated carbo- or heterocycle, which can contain up to three heteroatoms from the group O, N or S;

V_Z:

R_z⁵, R_z⁵* independently of one another:

hydrogen, or

each optionally substituted C_1 - C_6 -alkyl, C_1 - C_6 -alkylene-O- C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_3 - C_{12} -alkynyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_6 -alkyl, C_0 - C_1 - C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -alkylene-aryl, C_0 -alkylene-aryl;

R_z^6 , R_z^7 independently of one another:

hydrogen, OH, or

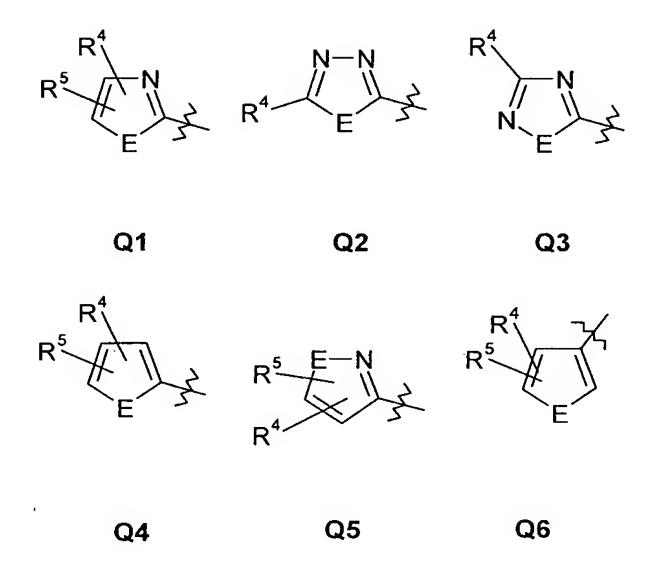
each optionally substituted C_1 - C_6 -alkyl, C_1 - C_4 -alkoxy, C_2 - C_6 -alkenyl, C_2 - C_6 -alkylene- C_3 - C_7 -cycloalkyl, C_3 - C_7 -cycloalkyl, aryl, C_1 - C_4 -alkylene-aryl, hetaryl or C_1 - C_4 -alkylene-hetaryl;

R¹, R², R³ independently of one another:

each independently of the third moiety, two moieties of R¹, R² or R³ together form a 5 to 7-membered, optionally substituted, saturated or unsaturated carbocycle, or an optionally substituted, saturated or unsaturated, which can contain one, two or three different or identical heteroatoms from the group O, N, S, wherein optionally two moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle may contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

Q:

a doubly substituted 5-membered hetaryl moiety, chosen from Q1 to Q6



E: O, $N-R_Q^1$ or S;

 R_Q^1 :

hydrogen, or

each optionally substituted C_1 - C_4 -alkyl, CO- C_1 - C_4 -alkyl, SO_2 - C_1 - C_4 -alkyl, CO-O- C_1 - C_4 -alkyl, aryl, C_1 - C_4 -alkylene-aryl, CO-aryl, CO-hetaryl, SO_2 -aryl, SO_2 -hetaryl, CO-O-aryl, CO- C_1 - C_4 -alkylene-aryl, SO_2 - C_1 - C_4 -alkylene-aryl, or CO-O- C_1 - C_4 -alkylene-aryl;

R⁴, R⁵ each independently of one another a moiety chosen from the groups 1.), 2.), 3.), 4.) or 5.):

1.) hydrogen, halogen, CN, CF₃, CHF₂, or each optionally substituted C₁-C₁₀-alkyl, C₂-C₁₀-alkenyl, C₂-C₁₀-alkynyl, C₃-C₇-cycloalkyl, C₁-C₆-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, C₁-C₆-alkylene-O-aryl, COO-C₁-C₄-alkyl or C₁-C₄-alkylene-COO-C₁-C₄-alkyl;

- 4.) Phenyl or naphthyl, which are each substituted with R_Q^2 , R_Q^3 and R_Q^4 , wherein
 - R_Q², R_Q³ and R_Q⁴ each independently from one another represent a substituent from the following group:

hydrogen, NO₂, NH₂, OH, CN, CF₃, CHF₂, OCF₃, OCHF₂, COOH, O-CH₂-COOH, SH, halogen, or each optionally substituted aryl, heterocycloalkyl, C_1 - C_6 -alkyl, C_2 - C_6 -alkenyl, C_2 - C_6 -alkynyl, C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene- C_3 - C_7 -cycloalkyl, C_1 - C_4 -alkylene-heterocycloalkyl, C_1 - C_4 -alkylene-aryl or C_1 - C_4 -alkylene-hetaryl, or C_1 -alkylene-hetaryl, or C_1 - C_2 - C_3 - C_4 - $C_$

two of the moieties R_Q², R_Q³ or R_Q⁴ together form a 3 to 7-membered, optionally substituted, saturated, unsaturated carbocycle or an optionally substituted, saturated, unsaturated aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S, and optionally two moieties substituted on this heterocycle together can form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S, and the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

- R_Q⁵ each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, heterocycloalkyl, aryl or hetaryl;
- R_Q⁶ each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl or C₁-C₆-alkylene-O-C₁-C₆-alkyl;

- R_Q⁷ hydrogen, OH, CN, or each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, C₁-C₄-alkylene-aryl, C₁-C₄-alkylene-hetaryl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-aryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₆-alkyl, SO₂-aryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-aryl or SO₂-C₁-C₄-alkylene-hetaryl;
- R_Q⁸ each optionally substituted C₁-C₆-alkyl, C₂-C₆-alkenyl, C₂-C₆-alkynyl, C₃-C₇-cycloalkyl, C₁-C₄-alkylene-C₃-C₇-cycloalkyl, C₁-C₄-alkylene-heterocycloalkyl, aryl, heterocycloalkyl, C₁-C₆-alkylene-O-C₁-C₆-alkyl, CO-C₁-C₆-alkyl, CO-aryl, CO-hetaryl, CO-C₁-C₄-alkylene-aryl, CO-C₁-C₄-alkylene-hetaryl, CO-O-C₁-C₆-alkyl, CO-O-aryl, CO-O-C₁-C₄-alkylene-aryl, CO-O-hetaryl, CO-O-C₁-C₄-alkylene-hetaryl, SO₂-C₁-C₄-alkylene-hetaryl, SO₂-hetaryl, SO₂-C₁-C₄-alkylene-hetaryl;

or both moieties R_Q^{7} and R_Q^{8} form, together with the nitrogen, a 3 to 7-membered, optionally substituted, saturated or aromatic heterocycle, which can contain one, two or three further different or identical heteroatoms O, N, S; and optionally two moieties substituted on this heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or same heteroatoms O, N, S and the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;

3.) a 5- or 6-membered, hetaryl moiety, optionally substituted with one or two substituents from the group consisting of:

2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-pyrimidyl, 4-pyrimidyl, 5-pyrimidyl, 6-pyrimidyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 2-imidazolyl, 4-imidazolyl, 5-imidazolyl, 3-pyridazinyl, 4-pyridazinyl, 5-pyridazinyl, 6-pyridazinyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, thiadiazolyl, oxadiazolyl or triazinyl or their anellated derivatives indazolyl, indolyl, benzothiophenyl, benzofuranyl, indolinyl, benzimidazolyl, benzthiazolyl, benzoxazolyl, chinolinyl and isochinolinyl;

- 4.) both moieties R⁴ and R⁵ together form a 4 to 7-membered, optionally substituted, saturated or unsaturated or aromatic carbocycle or a 5- or 6-membered optionally substituted, saturated or unsaturated or aromatic heterocycle, which can contain up to three further different or identical heteroatoms O, N, S, and can be substituted with up to two further moieties, wherein optionally two moieties substituted on this carbo- or heterocycle can together form an anellated, saturated, unsaturated or aromatic carbocycle or heterocycle, wherein the heterocycle can contain up to three different or identical heteroatoms O, N, S and wherein the cycle formed can optionally be substituted or a further, optionally substituted cycle can be condensed onto this cycle;
- 5.) a C₆-C₁₀- bi- or tricyclyc, saturated hydrocarbon moiety;

for the manufacture of a medicament for the treatment of diseases which are modulated by a 5-HT5 receptor activity.

18. Use according to claim 16, wherein R⁴ and/or R⁵ have the following meanings:

2-pyridyl, 3-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, benzothiophenyl, benzofuranyl, chinolinyl or isochinolinyl, which may optionally be substituted with 1 or 2 moieties.

- 19. Use according to claim 16 or 17 for the treatment of neuropathological, neuropsychiatric and neurodegenerative disorders, symptoms and dysfunctions.
- 20. Use according to at least one of the claims 16 to 18 for the treatment of migrane and brain damage.
- 21. Use according to claim 18 for the treatment of neuropathological, neuropsychiatric and neurodegenerative diseases, selected from the group consisting of cerebral ischemia, stroke, epilepsy and seizures in general, psychoses, schizophrenia, autism, OCD-syndrome, cognitive diseases, attention disorders, depressions, bipolar- and/or unipolar depressions, states of anxiety, dementia, senile dementia, Alzheimer dementia, demyelinizing diseases, multiple sclerosis and brain tumors.
- 22. Use according to claim 16 or 17 for the treatment of diseases chosen from the group consisting of cerebral vascular disorders, pain, disorders due to pain, addiction, disorders due to drugs, amnesia, alcohol abuse, drug abuse, disorders of the circadian rhythm and Cushing syndrome.